NEW URACILS HAVING A HERBICIDAL ACTIVITY

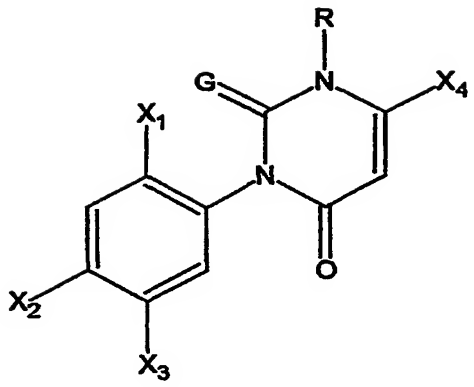
The present invention relates to new uracils.

More specifically, the present invention relates to new uracils having a high herbicidal activity, the processes for their preparation and methods for their use as herbicides for controlling weeds in agricultural crops.

Uracils having a herbicidal activity are described, among others, in patents or patent applications US 4,859,229, US 5,084,084, EP 1122244 and WO 01/77084.

The Applicant has now surprisingly found uracils which, compared to the products described in the above patents or patent applications, show enhanced characteristics in terms of herbicidal activity with respect to weeds and/or in terms of a lower phytotoxicity for crops of agricultural interest.

The object of the present invention therefore relates to new uracils having general formula (I):



wherein:

- X_1 represents a hydrogen atom or a halogen atom;
- X_2 represents a halogen atom;
- X_4 represents a C_1-C_3 haloalkyl group;
- R represents a hydrogen atom, a C_1-C_3 alkyl group or a C_1-C_3 haloalkyl group;
- G represents an oxygen atom or a sulphur atom;
- X_3 represents a $Q(CR_1R_2)_nZ$- group, a Q_1Z group, a Q_2- group, a $Y(OC)$-CR_6=CR_5-CR_3R_4Z- group;
- Z represents an oxygen atom or a sulphur atom;
- R_1, R_2, R_3 and R_4, the same or different, represent a hydrogen atom, a C_1-C_4 alkyl group or a C_1-C_4 haloalkyl group;
- R_5 represents an OR_7 group;
- R_6 represents a hydrogen atom or a C_1-C_4 alkyl group;
- R_7 represents a C_1-C_4 alkyl group or a C_1-C_4 haloalkyl group;
- Y represents an OR_8 group, a SR_9 group, a $NR_{10}R_{11}$ group;

- R_8 and R_9 represent a hydrogen atom, a C_1-C_6 linear or branched alkyl group, a C_1-C_6 linear or branched haloalkyl group, a C_3-C_6 cycloalkyl group, a C_4-C_9 cycloalkylalkyl group, a C_3-C_6 cyanoalkyl group, a C_3-C_6 alkoxyalkyl group, an oxethanyl group, a tetrahydrofuranyl group; a phenyl group, a C_7-C_{12} phenylalkyl group, a pyridyl group, said groups , in turn, possibly substituted with one or more halogen atoms selected from chlorine, fluorine, bromine or iodine, or substituted with one or more groups selected from C_1-C_4 alkyl, or C_1-C_4 haloalkyl, C_1-C_4 alkoxy or C_1-C_4 haloalkoxy;
- R_{10} and R_{11}, the same or different, represent a hydrogen atom, or a C_1-C_6 alkyl group, a C_1-C_6 haloalkyl group, a C_3-C_6 cycloalkyl group, a C_7-C_{12} arylalkyl group, or an aryl group, said groups, in turn, possibly substituted with one or more halogen atoms selected from chlorine, fluorine, bromine or iodine, or substituted with one or more groups selected from a C_1-C_4 alkyl, or C_1-C_4 haloalkyl, C_1-C_4 alkoxy or C_1-C_4 haloalkoxy; or, jointly represent a C_2-C_7 alkylene chain possibly substituted with C_1-C_4 alkyl groups and possibly interrupted by oxygen atoms or by a NR_{12} group, wherein:
- R_{12} represents a hydrogen atom, a C_1-C_6 alkyl group

or C_1-C_6 haloalkyl group, a C_3-C_6 alkenyl group or a C_3-C_6 haloalkenyl group, a C_3-C_6 alkynyl group or C_3-C_6 haloalkynyl group, a C_2-C_8 alkoxyalkyl group or a C_2-C_8 haloalkoxyalkyl group, a C_2-C_7 alkylcarbonyl group or C_2-C_7 haloalkylcarbonyl group:

- n represents 1, 2 or 3;
- Q represents a heterocyclic group selected from pyrrol-2-yl, pyrrol-3-yl, imidazol-2-yl, imidazol-4-yl, imidazol-5-yl, pyrazol-3-yl, pyrazol-4-yl, pyrazol-5-yl, 1,2,4-triazol-3-yl, 1,2,4-triazol-5-yl, 1,2,4-triazol-3-onyl, 1,2,3-triazolyl, tetrazolyl, oxazolyl, isoxazol-5-yl, thiazol-2-yl, thiazol-5-yl, isothiazolyl, 1,3,4-oxadiazolyl, 1,3,4-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,4-oxadiazolyl, 1,2,4-oxadiazol-5-on-3-yl, benzoxazol-2-yl, benzothiazol-2-yl, pyrazinyl, pyridazinyl, 1,2,4-triazinyl, 1,3,4-thiadiazol-2-on-5-yl, 1,4,2-dioxazol-5-on-3-yl, 1,4,2-oxathiazol-5-on-3-yl, 1,3,4-oxadiazin-5-on-2-yl, 1,4,2-dioxazin-3-yl, 1,2,4-oxadiazin-5-on-3-yl, 4,5,6,7-tetrahydro-1,3-benzothiazol-2-yl, 5,6-dihydro-4*H*-cyclopenta[*d*][1,3]thiazole, said groups, in turn, possibly substituted with halogen atoms selected from chlorine, fluorine, bromine or iodine, or substituted with groups selected from C_1-C_6 alkyl or C_1-C_6 haloalkyl, C_2-C_6 alkenyl or C_2-C_6 halo-

alkenyl, C_2-C_6 alkenyloxy or C_2-C_6 haloalkenyloxy, C_2-C_6 alkynyl or C_2-C_6 haloalkynyl, C_2-C_6 alkynyloxy or C_2-C_6 haloalkynyloxy, C_1-C_6 alkoxy or C_1-C_6 haloalkoxy, C_2-C_6 alkoxyalkyl or C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkoxyalkoxy, C_2-C_6 haloalkoxyalkoxy, C_2-C_6 haloalkoxyhaloalkoxy, C_3-C_8 alkoxyalkoxyalkyl, C_3-C_8 alkoxyalkoxyalkoxy, C_1-C_6 alkylthio or C_1-C_6 haloalkylthio, C_2-C_6 alkylthioalkyl, C_1-C_6 alkylsulfinic or C_1-C_6 haloalkylsulfinic, C_1-C_6 alkylsulfonic or C_1-C_6 haloalkylsulfonic, C_2-C_6 alkoxycarbonyl or C_2-C_6 haloalkoxycarbonyl, C_3-C_7 alkenyloxycarbonyl or C_3-C_7 alkynyloxycarbonyl, C_3-C_8 alkoxycarbonylalkyl or C_3-C_8 haloalkoxycarbonylalkyl, C_4-C_9 alkenyloxycarbonylalkyl or C_4-C_9 alkynyloxycarbonylalkyl, C_3-C_8 alkoxycarbonylalkoxy, C_4-C_9 alkenyloxycarbonylalkoxy or C_4-C_9 alkynyloxycarbonylalkoxy, C_3-C_8 aminocarbonylalkoxy possibly substituted with C_1-C_4 alkyl groups or with a C_2-C_5 alkylene group; CN, CHO, NO_2, NH_2, OH, C_1-C_3 cyanoalkyl, C_1-C_3 cyanoalkyloxy, C_2-C_6 formylalkyl, C_2-C_6 alkylcarbonyl, C_2-C_6 haloalkylcarbonyl, C_3-C_7 alkylcarbonylalkyl, C_2-C_6 alkoxyimino, C_2-C_6 haloalkoxyimino, C_3-C_6 alkoxyiminoalkyl, C_3-C_6 haloalkoxyiminoalkyl, C_3-C_6 alkoxyiminohaloalkyl, aminocarbonyl, C_2-C_6 aminocarbonylalkyl, aminosulfonyl or C_2-C_6 aminosulfonylalkyl, these last four

groups possibly substituted with one or two C_1-C_4 alkyl groups or with a C_2-C_5 alkylene group; C_1-C_6 alkylsulfonylamino, C_2-C_7 alkylcarbonylamino or C_2-C_7 alkoxycarbonylamino, these last three groups possibly substituted with C_1-C_4 alkyl groups; C_6-C_{10} aryl, C_6-C_{12} arylalkyl, C_6-C_{10} arylalkoxy, C_7-C_{12} aryloxyalkyl, C_8-C_{12} arylalkyloxyalkyl said groups in turn possibly substituted with halogen atoms, C_1-C_4 alkyl groups, C_1-C_3 haloalkyl groups, C_1-C_4 alkoxy groups, C_1-C_3 haloalkoxy groups, CN; C_3-C_7 cycloalkyl, C_6-C_{12} cycloalkylalkyl, C_6-C_{10} cycloalkylalkoxy, tetrahydropyran-2-yl said groups in turn possibly substituted with halogen atoms, C_1-C_4 alkyl groups, C_1-C_4 alkoxy groups;

- Q_1 represents a heterocyclic group selected from 1,3,4-thiadiazol-2-yl, 1,3,4-thiadiazol-5-yl, 1,2,4-thiadiazol-5-yl, tetrazol-5-yl, 1,3,4-oxadiazol-2-yl, 1,3,4-oxadiazol-5-yl, 1,2,4-oxadiazol-5-yl, oxazol-2-yl, oxazol-4-yl, oxazol-5-yl, isoxazol-3-yl, isoxazol-5-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, said groups, in turn, possibly substituted with halogen atoms selected from chlorine, fluorine, bromine or iodine, or substituted with groups selected from C_1-C_6 alkyl or C_1-C_6 haloalkyl, C_2-C_6 alkenyl or C_2-C_6 haloalkenyl, C_2-C_6 alkenyloxy or

C_2-C_6 haloalkenyloxy, C_2-C_6 alkynyl or C_2-C_6 haloalkynyl, C_2-C_6 alkynyloxy or C_2-C_6 haloalkynyloxy, C_1-C_6 alkoxy or C_1-C_6 haloalkoxy, C_2-C_6 alkoxyalkyl or C_2-C_6 haloalkoxyalkyl, C_1-C_6 alkylthio or C_1-C_6 haloalkylthio, C_1-C_6 alkylsulfinic or C_1-C_6 haloalkylsulfinic, C_1-C_6 alkylsulfonic or C_1-C_6 haloalkylsulfonic, C_2-C_6 alkoxycarbonyl or C_2-C_6 haloalkoxycarbonyl, C_3-C_8 alkoxycarbonylalkyl or C_3-C_8 haloalkoxycarbonylalkyl, C_3-C_8 alkoxycarbonylalkoxy, C_3-C_8 aminocarbonylalkoxy possibly substituted with C_1-C_4 alkyl groups or with a C_2-C_5 alkylene; CN, CHO, NO_2, NH_2, C_1-C_3 cyanoalkyl, C_1-C_3 cyanoalkyloxy, C_2-C_6 alkylcarbonyl, C_2-C_6 haloalkylcarbonyl, C_3-C_6 alkoxyiminoalkyl, C_3-C_6 haloalkoxyiminoalkyl, aminocarbonyl, C_2-C_6 aminocarbonylalkyl, aminosulfonyl or C_2-C_6 aminosulfonylalkyl, these last four groups possibly substituted with one or two C_1-C_4 alkyl groups or with a C_2-C_5 alkylene; C_1-C_6 alkylsulfonylamino, C_2-C_7 alkylcarbonylamino or C_2-C_7 alkoxycarbonylamino, these last three groups possibly substituted with C_1-C_4 alkyl groups; C_6-C_{10} aryl, C_6-C_{12} arylalkyl, C_6-C_{10} arylalkoxy, C_7-C_{12} aryloxyalkyl, C_8-C_{12} arylalkyloxyalkyl said groups in turn possibly substituted with halogen atoms, C_1-C_4 alkyl groups, C_1-C_3 haloalkyl groups, C_1-C_4 alkoxy groups, C_1-C_3 haloalkoxy groups, CN; C_3-

C_7 cycloalkyl, C_6-C_{12} cycloalkylalkyl, C_6-C_{10} cycloalkylalkoxy, tetrahydropyran-2-yl said groups in turn possibly substituted with halogen atoms, C_1-C_4 alkyl groups, C_1-C_4 alkoxy groups;

- Q_2 represents a heterocyclic group selected from tetrazol-5-yl, thiazol-2-yl, thiazol-4-yl, thiazol-5-yl, isothiazol-3-yl, isothiazol-4-yl, isothiazol-5-yl, 1,2,3-triazolyl, benzoxazol-2-yl, benzothiazol-2-yl, pyrimidin-2-yl, 1,2,4-triazinyl, 1,3,5-triazinyl, 1,3,4-thiadiazol-2-on-5-yl, 1,4,2-dioxazol-5-on-3-yl, 1,4,2-oxathiazol-5-on-3-yl, 1,3,4-oxadiazin-5-on-2-yl, 1,4,2-dioxazin-3-yl, 1,2,4-oxadiazin-5-on-3-yl, 4,5,6,7-tetrahydro-1,3-benzothiazol-2-yl, 5,6-dihydro-4*H*-cyclopenta[*d*][1,3]thiazole, said groups in turn possibly substituted with halogen atoms selected from chlorine, fluorine, bromine or iodine, or substituted with groups selected from C_1-C_6 alkyl or C_1-C_6 haloalkyl, C_2-C_6 alkenyl or C_2-C_6 haloalkenyl, C_2-C_6 alkenyloxy or C_2-C_6 haloalkenyloxy, C_2-C_6 alkynyl or C_2-C_6 haloalkynyl, C_2-C_6 alkynyloxy or C_2-C_6 haloalkynyloxy, C_1-C_6 alkoxy or C_1-C_6 haloalkoxy, C_2-C_6 alkoxyalkyl or C_2-C_6 haloalkoxyalkyl, C_2-C_6 alkoxyalkoxy, C_2-C_6 haloalkoxyalkoxy, C_2-C_6 haloalkoxyhaloalkoxy, C_3-C_8 alkoxyalkoxyalkyl, C_3-C_8 alkoxyalkoxyalkoxy, C_1-

C_6 alkylthio or C_1-C_6 haloalkylthio, C_2-C_6 alkylthio-alkyl, C_1-C_6 alkylsulfinic or C_1-C_6 haloalkylsulfinic, C_1-C_6 alkylsulfonic or C_1-C_6 haloalkylsulfonic, C_2-C_6 alkoxycarbonyl or C_2-C_6 haloalkoxycarbonyl, C_3-C_7 alkenyloxycarbonyl or C_3-C_7 alkynyloxycarbonyl, C_3-C_8 alkoxycarbonylalkyl or C_3-C_8 haloalkoxycarbonylalkyl, C_4-C_9 alkenyloxycarbonylalkyl or C_4-C_9 alkynyloxycarbonylalkyl, C_3-C_8 alkoxycarbonylalkoxy, alkenyloxycarbonylalkoxy C_4-C_9 or alkynyloxycarbonylalkoxy C_4-C_9, C_3-C_8 aminocarbonylalkoxy possibly substituted with C_1-C_4 alkyl or with a C_2-C_5 alkylene; CN, CHO, NO_2, NH_2, OH, C_1-C_3 cyanoalkyl, C_1-C_3 cyanoalkyloxy, C_2-C_6 formylalkyl, C_2-C_6 alkylcarbonyl, C_2-C_6 haloalkylcarbonyl, C_3-C_7 alkylcarbonylalkyl, C_2-C_6 alkoxyimino, C_2-C_6 haloalkoxyimino, C_3-C_6 alkoxyiminoalkyl, C_3-C_6 haloalkoxyiminoalkyl, alkoxyiminohaloalkyl C_3-C_6, aminocarbonyl, C_2-C_6 aminocarbonylalkyl, aminosulfonyl or C_2-C_6 aminosulfonylalkyl, these last four groups possibly substituted with one or two C_1-C_4 alkyl groups or with a C_2-C_5 alkylene; C_1-C_6 alkylsulfonylamino, C_2-C_7 alkylcarbonylamino o C_2-C_7 alkoxycarbonylamino, these last three groups possibly substituted with C_1-C_4 alkyl groups; C_6-C_{10} aryl, C_6-C_{12} arylalkyl, C_6-C_{10} arylalkoxy, C_7-C_{12} aryloxyalkyl, C_8-C_{12} arylalkyloxyalkyl said groups in turn possibly

substituted with halogen atoms, C_1-C_4 alkyl groups, C_1-C_3 haloalkyl groups, C_1-C_4 alkoxy groups, C_1-C_3 haloalkoxy groups, CN; C_3-C_7 cycloalkyl, C_6-C_{12} cycloalkylalkyl, C_6-C_{10} cycloalkylalkoxy, tetrahydropyran-2-yl said groups in turn possibly substituted with halogen atoms, C_1-C_4 alkyl groups, C_1-C_4 alkoxy groups.

A further object of the present invention relates to the use of uracils having general formula (I), as herbicides.

Specific examples of compounds having general formula (I) which are interesting for their high herbicidal activity are:

- methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate;
- methyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate;
- methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenylthio}-3-methoxybut-2-enoate;
- ethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-ethoxybut-2-enoate;

- methyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenylthio}-3-methoxybut-2-enoate;

- ethyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-ethoxybut-2-enoate;

- isopropyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate;

- methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate;

- methyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate;

- ethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-ethoxybut-2-enoate;

- ethyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-ethoxybut-2-enoate;

- 2,2,2-trifluoroethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate;

enoate;

- (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxy-N,N-dimethylbut-2-enamide;

- *S*-ethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enethioate;

- isopropyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate;

- 2,2,2-trifluoroethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate;

- 2,2,2-trifluoroethyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl) pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate;

- *S*-ethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl) pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enethioate;

- *S*-ethyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enethioate;

- (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxy-N,N-dimethylbut-2-enamide;

- (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxy-N,N-dimethylbut-2-enamide;
- (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenylthio}-3-methoxy-N,N-dimethylbut-2-enamide;
- (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenylthio}-3-methoxy-N,N-dimethylbut-2-enamide;
- 3-[4-chloro-2-fluoro-5-(tetrazol-5-ylmethoxy)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-{4-chloro-2-fluoro-5-[(2-methyl-2*H*-tetrazol-5-yl)methoxy]phenyl}-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-[4-chloro-2-fluoro-5-(tetrazol-5-ylmethoxy)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-[2,4-dichloro-5-(tetrazol-5-ylmethoxy)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-{4-chloro-2-fluoro-5-[(2-methyl-2*H*-tetrazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-{4-chloro-2-fluoro-5-[(2-ethyl-2*H*-tetrazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-{2,4-dichloro-5-[(2-methyl-2*H*-tetrazol-5-

yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-{2,4-dichloro-5-[(2-ethyl-2*H*-tetrazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-{4-chloro-2-fluoro-5-[(1-ethyl-1*H*-tetrazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-{2,4-dichloro-5-[(1-ethyl-1*H*-tetrazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-{5-[(5-*tert*-butyl-1,3,4-oxadiazol-2-yl)methoxy]-4-chloro-2-fluorophenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- methyl [5-({2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}methyl)-1*H*-tetrazol-1-yl]acetate;

- methyl [5-({2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}methyl)-1*H*-tetrazol-1-yl]acetate;

- methyl [5-({2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}methyl)-2*H*-tetrazol-2-yl]acetate;

- methyl [5-({2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-

yl]phenoxy}methyl)-2*H*-tetrazol-2-yl]acetate;

- 3-[4-chloro-3-(tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-3-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-3-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-3-(tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-2-fluoro-5-(tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[2,4-dichloro-5-(tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-2-fluoro-5-(tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[2,4-dichloro-5-(tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-3-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-2-fluoro-5-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[2,4-dichloro-5-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-2-fluoro-5-(1-methyl-1*H*-tetrazol-5-

yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[2,4-dichloro-5-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-2-fluoro-5-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[2,4-dichloro-5-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-3-(2-ethyl-2*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-3-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-2-fluoro-5-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[2,4-dichloro-5-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-3-(1-ethyl-1*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- methyl (5-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-1*H*-tetrazol-1-yl)acetate;

- methyl (5-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-2*H*-

tetrazol-2-yl)acetate;

- methyl (5-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-1*H*-tetrazol-1-yl)acetate;

- methyl (5-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-2*H*-tetrazol-2-yl)acetate;

- methyl (5-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-1*H*-tetrazol-1-yl)acetate;

- methyl (5-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-2*H*-tetrazol-2-yl)acetate;

- 3-[4-chloro-3-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[2,4-dichloro-5-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-2-fluoro-5-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-3-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-3-(4-ethoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-

pyrimidinedione;

- 3-[2,4-dichloro-5-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[2,4-dichloro-5-(4-ethoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-2-fluoro-5-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-2-fluoro-5-(4-ethoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-3-(4-benzyloxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[2,4-dichloro-5-(4-benzyloxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-[4-chloro-2-fluoro-5-(4-benzyloxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-(2,4-dichloro-5-{[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]oxy}phenyl)-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-(4-chloro-2-fluoro-5-{[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]oxy}phenyl)-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-(2,4-dichloro-5-{[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]oxy}phenyl)-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-(4-chloro-2-fluoro-5-{[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]oxy}phenyl)-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-(4-chloro-3-{[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-(2,4-dichloro-5-{[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-(4-chloro-2-fluoro-5-{[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-{4-chloro-3-[(5-methyl-1,3,4-thiadiazol-2-yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-{2,4-dichloro-5-[(5-methyl-1,3,4-thiadiazol-2-yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;
- 3-{4-chloro-2-fluoro-5-[(5-methyl-1,3,4-thiadiazol-2-

yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-(4-chloro-3-{[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-(2,4-dichloro-5-{[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-(4-chloro-2-fluoro-5-{[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-{4-chloro-3-[(5-methyl-1,3,4-oxadiazol-2-yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-{2,4-dichloro-5-[(5-methyl-1,3,4-oxadiazol-2-yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- 3-{4-chloro-2-fluoro-5-[(5-methyl-1,3,4-oxadiazol-2-yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione;

- methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-6-oxo-2-thioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate;

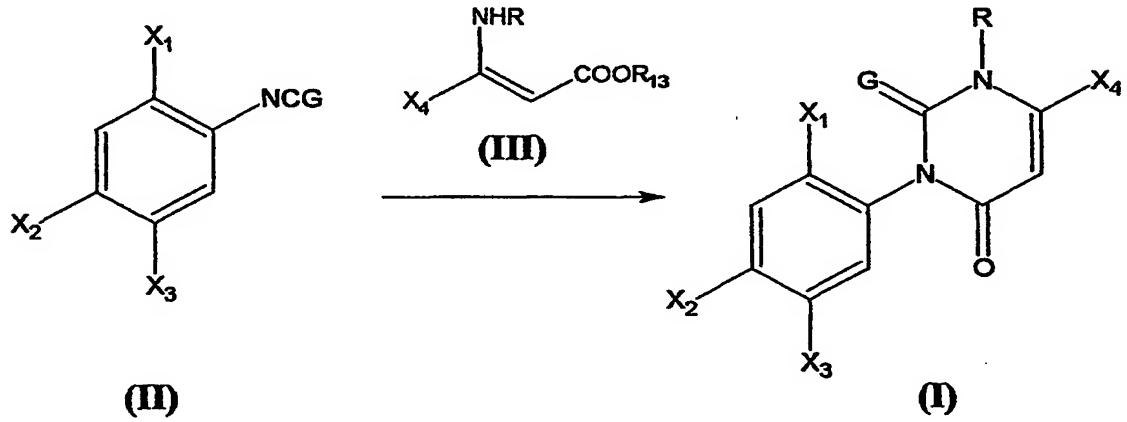
- methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-difluoromethyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-

1-yl]phenoxy}-3-methoxybut-2-enoate.

A further object of the present invention relates to processes for the preparation of the compounds having general formula (I).

In particular, the compounds having general formula (I) can be prepared by the reaction of an isocyanate or isothiocyanate having general formula (II) by cyclo-condensation with a 3-aminocrotonate having general formula (III) according to the reaction scheme 1.

Scheme 1:



(II) (III) (I)

In the general formulae indicated in this reaction scheme :

- X_1, X_2, X_3, X_4, R and G have the meanings defined above;
- R_{13} represents a C_1-C_4 alkyl or C_1-C_4 haloalkyl group or a phenyl group possibly substituted with C_1-C_4 alkyl groups.

The reaction between the compounds having general formula (II) and the compounds having general formula

(III) is preferably carried out in the presence of an inert organic solvent and in the presence of an organic base or preferably inorganic, at a temperature ranging from -20°C to the boiling point of the reaction mixture.

Examples of solvents which can be used for the above reaction include aliphatic or cyclo-aliphatic hydrocarbons (petroleum ether, hexane, cyclohexane, etc..), chlorinated hydrocarbons (methylene chloride, chloroform, carbon tetrachloride, dichloroethane etc..), aromatic hydrocarbons (benzene, toluene, xylene, chlorobenzene, etc.), ethers (diethyl ether, diisopropyl ether, dimethoxy ethane, dioxane, tetrahydrofuran, etc.), alcohols and glycols (methanol, ethanol, methyl cellosolve, ethylene glycol, etc.), ketones (acetone, methyl ethyl ketone, methyl propyl ketone, methyl isobutyl ketone etc.), nitriles (acetonitrile, benzonitrile, etc.), aprotic dipolar solvents (dimethylformamide, dimethylacetamide, hexamethylphosphoramide, dimethylsulfoxide, sulfolane, N-methylpyrrolidone, etc.).

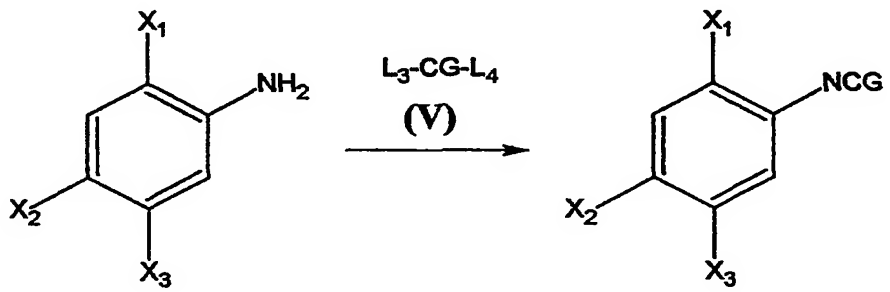
Inorganic bases which can be used are, for example, hydrides, hydroxides and carbonates of sodium and potassium.

Organic bases useful for the purpose are, for example, triethylamine, pyridine, 4-N,N-dimethylaminopyridine, N,N-dimethylaniline, N-methylpiperidine, lu-

tidine, diazabicyclooctane (DABCO), diazabicyclononene (DBN), diazabicycloundecene (DBU).

Isocyanates or isothiocyanates having general formula (II) can be prepared starting from a suitable substituted aniline having general formula (IV) by reaction with a compound having general formula (V), such as phosgene, diphosgene, triphosgene or thiophosgene, according to the reaction scheme 2.

Scheme 2:



(IV) (II)

In the general formulae indicated in this reaction scheme:

- X_1, X_2, X_3 and G have the meanings defined above;
- L_3 and L_4, the same or different, represent a chlorine atom or a CCl_3O- group.

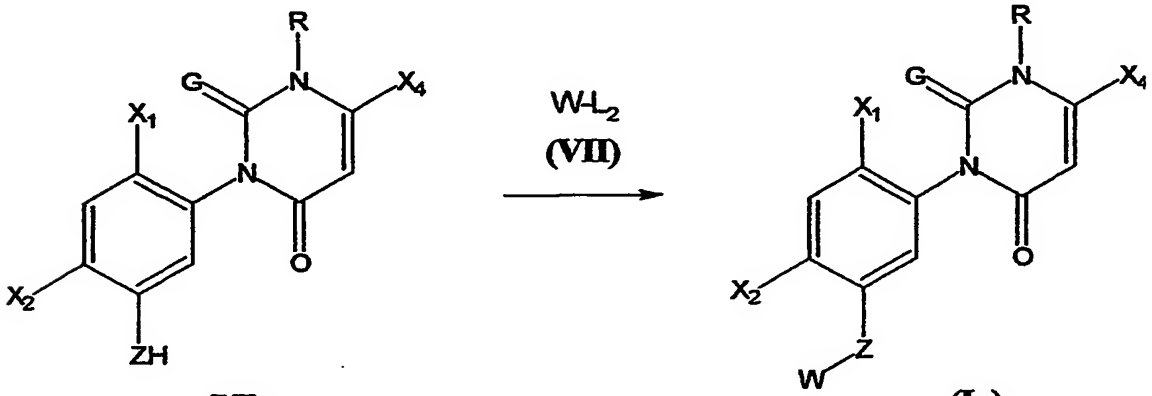
The reaction is preferably carried out in the presence of an inert organic solvent, at a temperature ranging from 0°C to the boiling point of the mixture, possibly in the presence of a catalyst such as triethylamine,

in an amount ranging from 0.001 to 100% by weight with respect to aniline (IV).

Inert organic solvents useful for the purpose are, for example, chlorinated hydrocarbons (for example methylene chloride, chloroform, 1,2-dichloroethane, etc.), aromatic hydrocarbons (for example, benzene, toluene, xylene, chlorobenzene, etc.), esters (for example ethyl acetate, etc.). An amount of reagent (V) ranging from 1 to 3 moles per mole of aniline (IV) is used in the reaction.

The compounds having general formula (I), wherein X_3 represents a $Q(CR_1R_2)_nZ-$ group, a Q_1Z- group, a $Y(OC)-CR_6=CR_5-CR_3R_4Z-$ group, compounds (Ia), can also be prepared by the reaction of a uracil having general formula (VI) with a compound having general formula (VII) according to the reaction scheme 3:

Scheme 3:



(VI) (Ia)

In the general formulae indicated in this reaction

scheme:

- X_1, X_2 , X_4, G and Z have the meanings defined above;
- R represents a C_1-C_3 alkyl group or a C_1-C_3 haloalkyl group;
- W represents a $Q(CR_1R_2)_n$- group, a Q_1- group, a Y(OC)-CR_6=CR_5-CR_3R_4- group, wherein R_1, R_2, R_3, R_4, R_5, R_6, Y, Q and Q_1 have the meanings defined above;
- L_2 represents a halogen atom, a R_LSO_2O- group, wherein R_L represents a C_1-C_4 alkyl or C_1-C_4 haloalkyl group or a phenyl group possibly substituted by C_1-C_4 alkyl groups, or it represents a $R_{L1}SO_2$- group wherein R_{L1} represents a C_1-C_4 alkyl or C_1-C_4 haloalkyl group.

The reaction between the compounds having general formula (VI) and the compounds having general formula (VII) is preferably carried out in the presence of one or more inert organic solvents and in the presence of a base, preferably an inorganic base, at a temperature ranging from -10°C to the boiling temperature of the reaction mixture.

Organic solvents useful for the purpose are, for example, aromatic hydrocarbons (benzene, toluene, xylene, chlorobenzene, etc.), ethers (diethyl ether, diisopropyl ether, dimethoxyethane, dioxane, tetrahydrofuran, etc.), alcohols and glycols (methanol, ethanol, methyl cellosolve, ethylene glycol, etc.), ketones (acetone, methyl

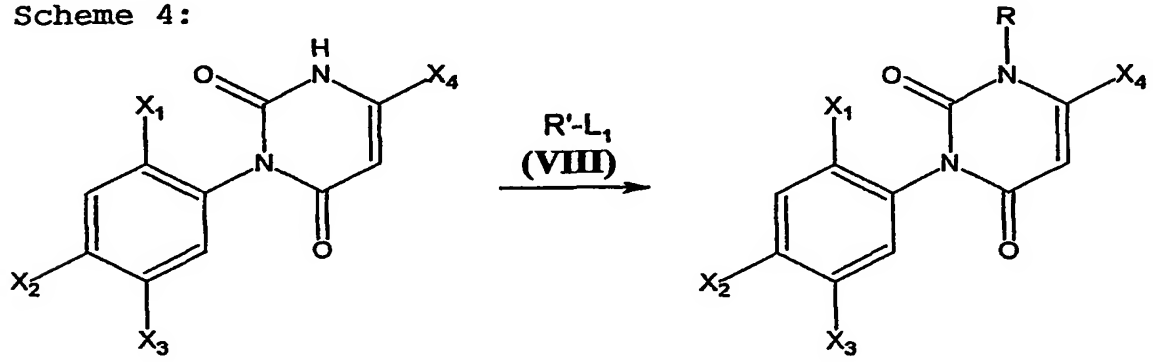
ethyl ketone, methyl propyl ketone, methyl isobutyl ketone, etc.), nitriles (acetonitrile, benzonitrile, etc.), aprotic dipolar solvents (dimethylformamide, dimethylacetamide, hexamethylphosphoramide, dimethylsulfoxide, sulfolane, N-methylpyrrolidone, etc.).

Inorganic bases useful for the purpose are, for example, hydrides, hydroxides and carbonates of sodium or potassium.

The reaction can also be advantageously carried out in a biphasic system using, as the solvent, water and an organic solvent immiscible with water, in the presence of phase transfer catalysts, according to what is described by Dehmlow and Dehmlow in "Phase Transfer Catalysis" (1983), Verlag Chemie.

The compounds having general formula (I) wherein G=O and R≠H, compounds (Ic), can also be prepared by the reaction of a uracil having general formula (Ib) with an alkylation compound having general formula (VIII) according to the reaction scheme 4.

Scheme 4:



(Ib) (Ic)

In the general formulae indicated in this reaction scheme:

- X_1, X_2, X_3 and X_4 have the meanings defined above;
- R' represents a C_1-C_3 alkyl or C_1-C_3 haloalkyl group;
- L_1 represents a halogen atom, or a R_LSO_2O- group wherein R_L represents a C_1-C_4 alkyl or C_1-C_4 haloalkyl group or a phenyl group possibly substituted by C_1-C_4 alkyl groups.

The reaction between the compounds having general formula (Ib) and the compounds having general formula (VIII) is preferably carried out in the presence of one or more inert organic solvents and in the presence of a base, preferably an inorganic base, at a temperature ranging from -10°C to the boiling temperature of the reaction mixture.

Organic solvents useful for the purpose are, for example, aromatic hydrocarbons (benzene, toluene, xylene, chlorobenzene, etc.), ethers (diethyl ether, diisopropyl ether, dimethoxyethane, dioxane, tetrahydrofuran, etc.), alcohols and glycols (methanol, ethanol, methyl cellosolve, ethylene glycol, etc.), ketones (acetone, methyl ethyl ketone, methyl propyl ketone, methyl isobutyl ketone, etc.), nitriles (acetonitrile, benzonitrile,

etc.), aprotic dipolar solvents (dimethylformamide, dimethylacetamide, hexamethylphosphoramide, dimethylsulfoxide, sulfolane, N-methylpyrrolidone, etc.).

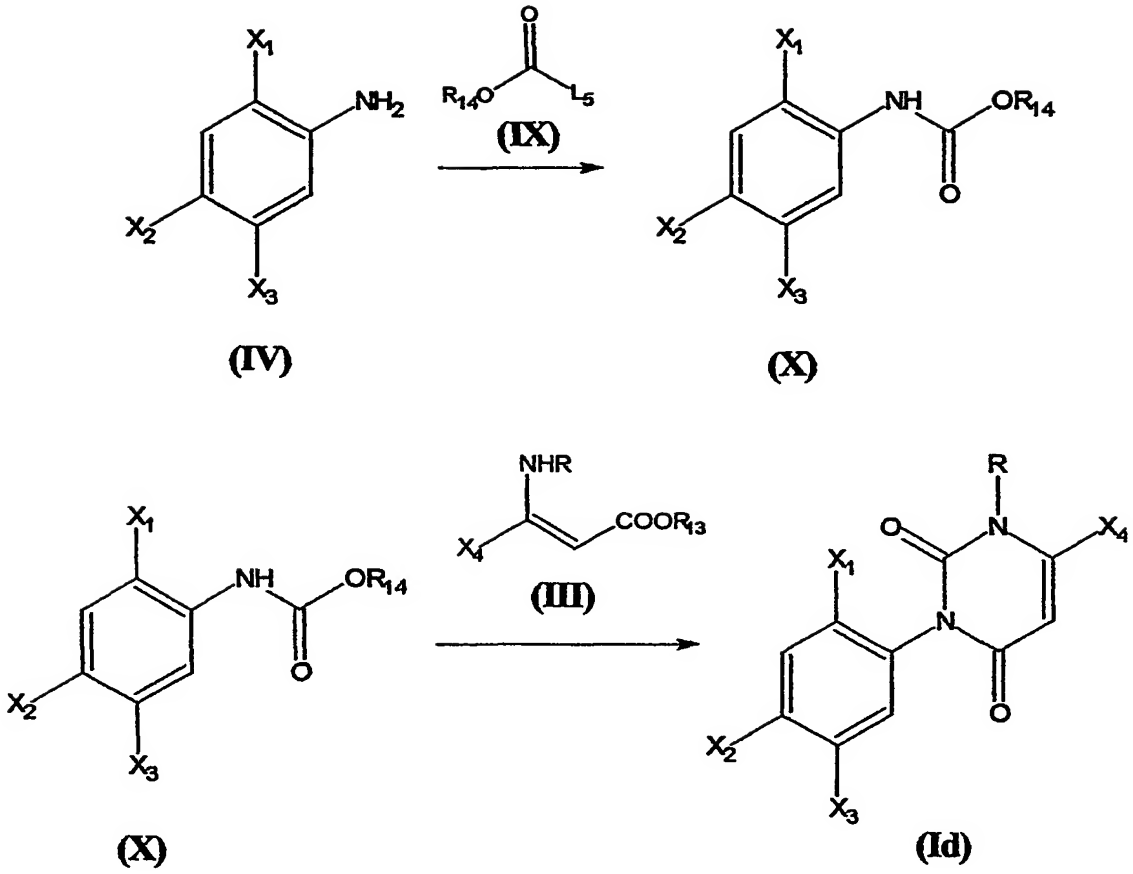
Inorganic bases useful for the purpose are, for example, hydrides, hydroxides and carbonates of sodium and potassium.

The reaction can also be advantageously carried out in a biphasic system using, as solvent, water and an organic solvent immiscible with water, in the presence of phase transfer catalysts, according to what is described by Dehmlow and Dehmlow in "Phase Transfer Catalysis" (1983), Verlag Chemie.

The compounds having general formula (I) wherein G=O, compounds (Id), can also be prepared starting from a suitably substituted aniline having formula (IV) by reaction with a chloroformiate or a carbonate having formula (IX) to give a carbamate having formula (X); this can be converted to the compounds of general formula (Id) by cyclo condensation with a 3-aminocrotonate having general formula (III).

This reaction sequence is shown in scheme 5.

Scheme 5:



In the general formulae shown in the reaction scheme:

- X_1, X_2, X_3, X_4 and R have the meanings defined above;
- L_5 represents a halogen atom or a OR_{14} group;
- R_{13} and R_{14} represent a C_1-C_4 alkyl or C_1-C_4 haloalkyl

group or a phenyl group possibly substituted by C_1-C_4 alkyl groups.

The reaction between aniline having general formula (IV) and a compound having general formula (IX) is preferably carried out in the presence of an inert organic solvent, at a temperature ranging from -10°C to the boiling point of the mixture itself, in the presence of an organic or inorganic base, in an amount ranging from 1 to 1.5 moles per mole of aniline (IV).

Inorganic bases useful for the purpose are, for example, sodium carbonate, sodium hydroxide, etc..

Organic bases useful for the purpose are, for example, triethylamine, pyridine, 4-dimethylaminopyridine, etc.

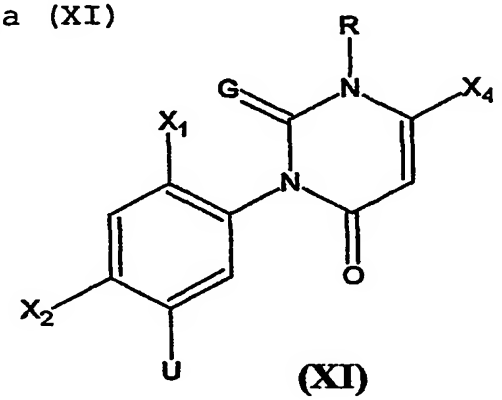
Inert organic solvents useful for the purpose are, for example, chlorinated hydrocarbons (for example, methylene chloride, chloroform, 1,2-dichloroethane etc..), aromatic hydrocarbons (for example benzene, toluene, xylene, chlorobenzene, etc.), ethers (for example, ethyl ether, tetrahydrofuran, dioxane, etc.), esters (for example ethyl acetate, etc..).

A quantity of the compound having formula (IX) ranging from 1 to 1.5 moles per mole of aniline (IV), is used in the reaction.

The operative conditions, as well as the typology of

solvent and bases useful for carrying out the cyclo-condensation reaction of a carbamate having general formula (X) with a 3-aminocrotonate having general formula (III) shown in scheme 5, are analogous to those shown for the reaction of scheme 1.

The compounds having general formula (I) wherein $X_3 = Q_2$ can also be prepared starting from compounds having general formula (XI)



(XI)

wherein:

- X_1, X_2, X_4, R and G have the meanings defined above;
- U represents a functional group which can be transformed into one of the heterocyclic rings envisaged for Q_2.

For example, when U= CN, $CONH_2$, $CSNH_2$, CO_2H ecc., said functional groups can be easily transformed into heterocyclic groups according to known techniques in organic chemistry.

Specific examples of this strategy, for example starting from the cyano functional group (U = CN), relate

to the preparation of tetrazoles and thiazoles.

The cyano group can be transformed into a tetrazole, for example by reaction under heat with trimethyl silylazide, in toluene, catalysed by dibutyltin oxide, according to what is described in "Journal Organic Chemistry" (1993), vol. 58, pages 4139-4141, or by heating with sodium azide in water and catalysis of $ZnBr_2$, as described in "Journal Organic Chemistry" (2001), vol. 66, pages 7945-7950.

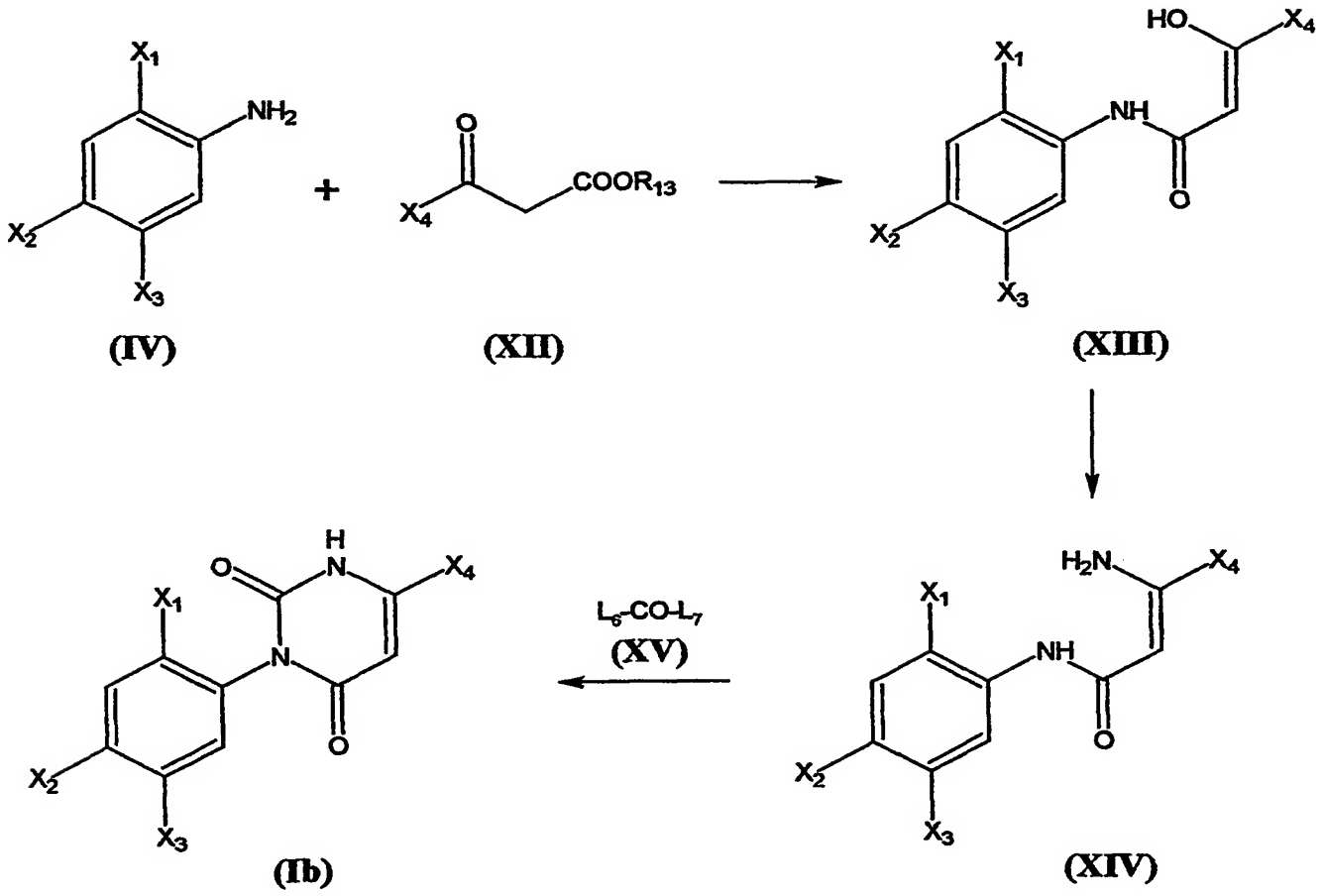
The cyano group can be transformed into thiazole, for example by reaction under heat with α-mercapto acetic acids according to what is described in "Journal Medicinal Chemistry" (1991), vol. 34, pages 2158-2165, or by treatment with α-mercapto ketones and gaseous hydrochloric acid, in benzene, at 0°C, as described in C.A., 1958, vol. 52, 14698.

The compounds having general formula (Ib) can also be prepared starting from a suitable substituted aniline having general formula (IV) by reaction with a β-ketoester having general formula (XII), to give an anilide having general formula (XIII); this can be easily converted into the intermediate of general formula (XIV) by amination with ammonia or ammonium salts; this last intermediate can be converted into the compounds of general formula (Ib) by cyclization with a compound of gen-

eral formula (XV), such as phosgene, or diphosgene.

This reaction sequence is shown in scheme 6.

Scheme 6:



In the general formulae indicated in this reaction

scheme:

- X_1, X_2, X_3 and X_4 have the meanings defined above;
- R_{13} represents a C_1-C_4 alkyl or haloalkyl group or a phenyl group possibly substituted by C_1-C_4 alkyl groups;
- L_6 and L_7, having the same or different meaning, represent a chlorine atom, a CCl_3O- group, a C_1-C_4 alkoxy group, a phenoxy group, an imidazol-1-yl group or a 1,2,4-triazol-1-yl group.

The reaction between the compounds having general formula (IV) and the compounds having general formula (XII) is preferably carried out in the presence of one or more inert organic solvents, at a temperature ranging from -10°C to the boiling temperature of the reaction mixture; an amount of compound (XII) ranging from 1 to 3 moles per mole of aniline (IV) is used in the reaction.

The reaction may also be carried out while distilling off compound $R_{13}OH$ formed during the reaction, alone or in mixture with the solvent used.

Inert organic solvents useful for the purpose are, for example, aliphatic or cyclo-aliphatic hydrocarbons (petroleum ether, hexane, cyclohexane, etc..), chlorinated hydrocarbons (for example methylene chloride, chloroform, 1,2-dichloroethane, etc.), aromatic hydrocarbons (for example, benzene, toluene, xylene, chlorobenzene, etc.), ethers (for example diethyl ether, tetrahydrofu-

ran, dioxane, etc.), nitriles (acetonitrile, benzonitrile, etc.), aprotic dipolar solvents (dimethylformamide, dimethylacetamide, hexamethylphosphoramide, dimethylsulfoxide, sulfolane, N-methylpyrrolidone, etc.).

The reaction may also be carried out in presence of a suitable organic base, for example pyridine, 4-dimethylaminopyridine, etc., in an amount ranging from 0.001 to 1 mole per mole of compound (IV).

The transformation of compounds having general formula (XIII) into compounds having general formula (XIV) is preferably carried out in the presence of one or more inert organic solvents, at a temperature ranging from -10°C to the boiling temperature of the reaction mixture; in the reaction ammonia or a suitable ammonium salt, for example ammonium acetate, is used in an amount ranging from 1 to 20 moles per mole of compound (XIII).

Inert organic solvents useful for the purpose are, for example, chlorinated hydrocarbons (for example methylene chloride, chloroform, 1,2-dichloroethane, etc.), aromatic hydrocarbons (for example, benzene, toluene, xylene, chlorobenzene, etc.), ethers (for example diethyl ether, tetrahydrofuran, dioxane, etc.), nitriles (acetonitrile, benzonitrile, etc.), aprotic dipolar solvents (dimethylformamide, dimethylacetamide, hexamethylphosphoramide, dimethylsulfoxide, sulfolane, N-methylpyr-

methylpyrrolidone, etc.).

Alternatively, when an ammonium salt is used, the reaction may be carried out in absence of solvent, at a temperature ranging from 20°C to 200°C.

The reaction between the compounds having general formula (XIV) and the compounds having general formula (XV) is preferably carried out in the presence of one or more inert organic solvents, at a temperature ranging from -10°C to the boiling temperature of the reaction mixture; an amount of compound (XV) ranging from 1 to 5 moles per mole of compound (XIV) is used in the reaction.

The reaction is preferably carried out in the presence of a suitable organic or inorganic base, in an amount ranging from 1 to 5 moles per mole of compound (XIV).

Inorganic bases useful for the purpose are, for example, hydroxides and carbonates of sodium and potassium, etc.

Organic bases useful for the purpose are, for example, triethylamine, pyridine, 4-dimethylaminopyridine, etc.

In addition to the base a suitable catalyst is preferably used, for example 4-dimethylaminopyridine, in an amount ranging from 0.001 to 1 mole per mole of compound (XIV).

Inert organic solvents useful for the purpose are, for example, esters (for example ethyl acetate, etc.), chlorinated hydrocarbons (for example methylene chloride, chloroform, 1,2-dichloroethane, etc.), aromatic hydrocarbons (for example, benzene, toluene, xylene, chlorobenzene, etc.), alcohols and glycols (methanol, ethanol, methyl cellosolve, ethylene glycol, etc.), ketones (acetone, methyl ethyl ketone, methyl propyl ketone, methyl isobutyl ketone, etc.), ethers (for example diethyl ether, tetrahydrofuran, dioxane, etc.), nitriles (acetonitrile, benzonitrile, etc.), aprotic dipolar solvents (dimethylformamide, dimethylacetamide, hexamethylphosphoramide, dimethylsulfoxide, sulfolane, N-methylpyrrolidone, etc.).

The intermediate products having general formulae (III), (V), (VII), (VIII), (IX), (XII) and (XV) when not known per se, are easily prepared according to known methods of organic chemistry.

In some cases, the compounds having general formula (I), can be obtained as two or more optical or geometric or position isomers.

It is therefore within the spirit of the present invention to consider both the isomerically pure compounds having general formula (I), and mixtures of the same, optionally obtained during the preparation of the compounds

having general formula (I) or deriving from an incomplete separation of the isomers, in any proportion.

As already mentioned, the compounds having general formula (I) have a high herbicidal activity which makes them suitable for use in the agricultural field for the defence of useful crops from weeds.

In particular the compounds object of the present invention are effective in the control, in both pre-emergence and post-emergence, of numerous monocotyledonous and dicotyledonous weeds. At the same time these compounds can show compatibility or absence of toxic effects with respect to useful crops in pre- and/or post-emergence treatment.

The compounds of the present invention can act as total or selective herbicides also in relation to the amount of the active principle used.

Examples of weeds which can be efficaciously controlled by using the compounds having general formula (I), are: Abutilon theofrasti, Alisma plantago, Amaranthus spp., Amni maius, Capsella bursa pastoris, Chenopodium album, Convolvulus sepium, Galium aparine, Geranium dissectum, Ipomea spp., Matricaria spp., Papaver rhoaes, Phaseolus aureus, Polygonum persicaria, Portulaca oleracea, Sida spinosa, Sinapsis arvensis, Solanum nigrum, Stellaria media, Veronica spp., Viola spp., Xanthium

spp., Alopecurus myosuroides, Avena fatua, Cyperus spp., Digitaria sanguinalis, Echinocloa spp., Heleocaris avicularis, Heteranthera spp., Panicum spp., Poa spp., Scirpus spp., Sorghum spp., etc.

Many of the above compounds do not have toxic effects, at the dosage of use in agrarian applications, against one or more important crops, such as rice (Oryza sativa), wheat (Triticum sp.), barley (Hordeum vulgare), corn (Zea mays), soya-bean (Glycine max).

A further object of the present invention relates to a method for the control of weeds in cultivated areas by the application of the compounds having general formula (I).

The quantity of compound to be used for obtaining the desired effect can vary in relation to several factors, such as, for example, the compound used, the crop to be preserved, the weed to be fought, the degree of infestation, the climatic conditions, the characteristics of the soil, the application method, etc..

Dosages of compound ranging from 1g to 1000g per hectare generally provide a sufficient control.

For use in agriculture, it is often advantageous to use compositions with a herbicidal activity, containing, as active substance, one or more compounds having general formula (I), possibly also as a mixture of isomers.

Compositions can be used in the form of dry powders, wet powders, emulsifiable concentrated products, micro-emulsions, pastes, granulates, solutions, suspensions, etc.: the selection of the type of composition depends on the specific use.

The compositions are prepared according to known methods, for example by diluting or dissolving the active ingredient with a solvent medium and/or solid diluent, possibly in the presence of surface-active agents.

Kaolin, alumina, silica, talc, bentonite, chalk, quartz, dolomite, attapulgite, montmorillonite, diatom earth, cellulose, starch, etc, can be used as inert solid diluents, or carriers.

Water, or organic solvents such as aromatic hydrocarbons (xylols, mixtures or alkyl benzenes, etc.), aliphatic hydrocarbons (hexane, cyclohexane, etc.), halogenated aromatic hydrocarbons (chlorobenzene, etc.), alcohols (methanol, propanol, butanol, octanol, etc.), esters (isobutyl acetate, etc.), ketones (acetone, cyclohexanone, acetophenone, isophorone, ethyl amyl ketone, etc.), or vegetal or mineral oils or mixture thereof, etc. can be used as inert liquid diluents.

Surface-active agents which can be used are wetting and emulsifying agents of the non-ionic type (polyethoxylated alkyl phenols, polyethoxylated fatty alcohols,

etc.), of the anionic type (alkyl benzene sulfonates, alkyl sulfonates, etc.), of the cationic type (quaternary salts of alkyl ammonium, etc.).

Dispersants can also be added (for example lignin and its salts, cellulose derivatives, alginates, etc.), stabilizers (for example antioxidants, UV absorbers, etc.).

In order to widen the range of activity of the above compositions, it is possible to add other active ingredients, such as, for example, other herbicides, fungicides, insecticides, acaricides, fertilizers, etc..

Examples of other herbicides which can be added to the compositions containing one or more compounds having general formula (I) are the following:

acetochlor, acifluorfen, aclonifen, AKH-7088, alachlor, alloxydim, ametryn, amicarbazone, amidosulfuron, amitrole, anilofos, asulam, atrazine, azafenidin, azimsulfuron, aziprotryne, BAY MKH 6561, beflubutamid, benazolin, benfluralin, benfuresate, bensulfuron, bensulide, bentazone, benzfendizone, benzobicyclon, benzofenap, benzthiazuron, bifenox, bilanafos, bispyribac-sodium, bromacil, bromobutide, bromofenoxim, bromoxynil, butachlor, butafenacil, butamifos, butenachlor, butralin, butroxydim, butylate, cafenstrole, carbetamide, carfentrazone-ethyl, chlomethoxyfen, chloramben, chlorbromuron, chlorbufam,

chlorflurenol, chloridazon, chlorimuron, chlornitrofen, chlorotoluron, chloroxuron, chlorpropham, chlorsulfuron, chlorthal, chlorthiamid, cinidon ethyl, cinmethylin, cinosulfuron, clethodim, clodinafop, clomazone, clomeprop, clopyralid, cloransulam-methyl, cumyluron (JC-940), cyanazine, cycloate, cyclosulfamuron, cycloxydim, cyhalofop-butyl, 2,4-D, 2,4-DB, daimuron, dalapon, desmedipham, desmetryn, dicamba, dichlobenil, dichlorprop, dichlorprop-P, diclofop, diclosulam, diethatyl, difenoxuron, difenzoquat, diflufenican, diflufenzopyr, dimefuron, dimepiperate, dimethachlor, dimethametryn, dimethenamid, dinitramine, dinoseb, dinoseb acetate, dinoterb, diphenamid, dipropetryn, diquat, dithiopyr, 1-diuron, eglinazine, endothal, EPTC, esprocarb, ethalfluralin, ethametsulfuron-methyl, ethidimuron, ethiozin (SMY 1500), ethofumesate, ethoxyfen-ethyl (HC-252), ethoxysulfuron, etobenzanid (HW 52), fenoxaprop, fenoxaprop-P, fentrazamide, fenuron, flamprop, flamprop-M, flazasulfuron, florasulam, fluazifop, fluazifop-P, fluazolate (JV 485), flucarbazone-sodium, fluchloralin, flufenacet, flufenpyr ethyl, flumetsulam, flumiclorac-pentyl, flumioxazin, flumipropin, fluometuron, fluoroglycofen, fluoronitrofen, flupoxam, flupropanate, flupyrsulfuron, flurenol, fluridone, flurochloridone, fluroxypyr, flurtamone, fluthiacet-methyl, fomesafen, foramsulfuron, fosamine, fury-

loxyfen, glufosinate, glyphosate, halosulfuron-methyl, haloxyfop, haloxyfop-P-methyl, hexazinone, imazamethabenz, imazamox, imazapic, imazapyr, imazaquin, imazethapyr, imazosulfuron, indanofan, iodosulfuron, ioxynil, isopropalin, isoproturon, isouron, isoxaben, isoxachlortole, isoxaflutole, isoxapyrifop, KPP-421, lactofen, lenacil, linuron, LS830556, MCPA, MCPA-thioethyl, MCPB, mecoprop, mecoprop-P, mefenacet, mesosulfuron, mesotrione, metamitron, metazachlor, methabenzthiazuron, methazole, methoprotryne, methyldymron, metobenzuron, metobromuron, metolachlor, S-metolachlor, metosulam, metoxuron, metribuzin, metsulfuron, molinate, monalide, monolinuron, naproanilide, napropamide, naptalam, NC-330, neburon, nicosulfuron, nipyraclofen, norflurazon, orbencarb, oryzalin, oxadiargyl, oxadiazon, oxasulfuron, oxaziclomefone, oxyfluorfen, paraquat, pebulate, pendimethalin, penoxsulam, pentanochlor, pentoxazone, pethoxamid, phenmedipham, picloram, picolinafen, piperophos, prethylachlor, primisulfuron, prodiamine, profluazol, proglinazine, prometon, prometryne, propachlor, propanil, propaquizafop, propazine, propham, propisochlor, propyzamide, prosulfocarb, prosulfuron, pyraclonil, pyraflufen-ethyl, pyrazogyl (HSA-961), pyrazolynate, pyrazosulfuron, pyrazoxyfen, pyribenzoxim, pyributicarb, pyridafol, pyridate, pyriftalid, pyriminobac-methyl, pyrithiobac-sodium,

quinclorac, quinmerac, quizalofop, quizalofop-P, rimsulfuron, sethoxydim, siduron, simazine, simetryn, sulcotrione, sulfentrazone, sulfometuron-methyl, sulfosulfuron, 2,3,6-TBA, TCA-sodium, tebutam, tebuthiuron, tepraloxydim, terbacil, terbumeton, terbuthyl-azine, terbutryn, thenylchlor, thiazafluron, thiazopyr, thidiazimin, thifensulfuron-methyl, thiobencarb, tiocarbazil, tioclorim, tralkoxydim, tri-allate, triasulfuron, triaziflam, tribenuron, triclopyr, trietazine, trifloxysulfuron, trifluralin, triflusulfuron-methyl, tritosulfuron, UBI-C4874, vernolate.

The concentration of active substance in the above compositions can vary within a wide range, depending on the active substance, the applications to which it is destined, the environmental conditions and type of formulation used. In general, the concentration of active substance preferably ranges from 1 to 90%.

Some illustrative and non-limiting examples of the present invention are provided hereunder.

EXAMPLE 1

Preparation of methyl (2*E*)-4-(2-chloro-4-fluoro-5-isocyanatophenoxy)-3-methoxybut-2-enoate (Intermediate having formula II).

Trichloromethyl chloroformate (1.37 g) is added dropwise to a solution of methyl (2*E*)-4-(5-amino-2-

chloro-4-fluorophenoxy)-3-methoxybut-2-enoate (2.0 g) in ethyl acetate (30 ml). The mixture is stirred overnight at room temperature. It is concentrated under vacuum and the residue obtained (2.3 g) is used as such in the subsequent reaction.

EXAMPLE 2

Preparation of methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 1).

A solution of ethyl 3-amino-4,4,4-trifluoro-2-butenoate (1.39 g) in dimethylformamide (5 ml) is added drop-wise to a suspension of sodium hydride (60% in mineral oil) (0.3 g) in dimethylformamide (15 ml), cooled to 0 °C and kept in an inert atmosphere, the temperature being maintained below 5°C. At the end of the addition, the mixture is stirred for 1 hour at a temperature ranging from 2 to 4°C. A solution of methyl (2*E*)-4-(2-chloro-4-fluoro-5-isocyanatophenoxy)-3-methoxybut-2-enoate (2.3 g), prepared in the previous example 1, in dimethylformamide (7 ml) is added dropwise to the solution thus obtained, maintaining the temperature within the above-mentioned range.

The reaction mixture is subsequently heated to 80 °C, maintained at this temperature for 4.5 hours and fi-

nally stirred overnight at room temperature. The reaction mixture is poured into water (100 ml) and washed with ethyl acetate (3 x 30 ml). The organic phase is extracted with water that is added to the previous aqueous phase and acidified with 10% hydrochloric acid at a temperature of 5 °C. The product which separates is extracted with ethyl acetate and dried under vacuum. 2.74 g of product are obtained, which are used as such in the following reaction.

^{1}H-NMR ($CDCl_3$): δ a 3.67, 3.69 (2s, 6H, CO_2CH_3, OCH_3); 5.20 (bs, 1H, $CHCO_2Me$); 5.25 (m, 2H, OCH_2); 6.23 (s, 1H, CH uracil); 6.9 (d, 1H, aromatic); 7.3 (d, 1H, aromatic).

EXAMPLE 3

Preparation of methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 2).

Methyl iodide (0.4 g) is added to a mixture of methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (0.89 g), obtained in the previous example 2, and potassium carbonate (0.4 g) in acetone (15 ml). The reaction mixture is refluxed for 5 hours. Water is added (100 ml) after evaporation of the solvent, and the mixture is extracted ethyl acetate (2x50 ml); the or-

ganic phase is dried with sodium sulphate and concentrated under vacuum. The residue is purified by chromatography on a silica gel column, eluting with n-hexane/ethyl acetate 6:4. 0.5 g of product are obtained.

^{1}H-NMR ($CDCl_3$): δ a 3.53 (bs, 1H, NCH_3); 3.66, 3.67 (2s, 6H, CO_2CH_3, OCH_3); 5.18 (bs, 1H, $CHCO_2Me$); 5.23 (m, 2H, OCH_2); 6.33 (s, 1H, CH uracil); 6.9 (d, 1H, aromatic); 7.3 (d, 1H, aromatic).

EXAMPLE 4

Alternative preparation of methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 2).

A solution of ethyl 4,4,4-trifluoro-3-(methylamino)-2-butenoate (3.25 g) in dimethylformamide (10 ml)is added drop-wise to a suspension of sodium hydride (60% in mineral oil) (0.65 g) in dimethylformamide (35 ml), cooled to 0°C and kept in an inert atmosphere, maintaining the temperature below 5°C. At the end of the addition, the solution is stirred for an hour at a temperature ranging from 2 to 4 °C. A solution of methyl (2*E*)-4-(2-chloro-4-fluoro-5-isocyanatophenoxy)-3-methoxybut-2-enoate (5.0 g) in dimethyl formamide (10 ml) is added drop-wise to the solution thus obtained, maintaining the temperature within the above-mentioned range.

The reaction mixture is then heated to 100 °C, maintained at this temperature for 6 hours and finally stirred overnight at room temperature. The reaction mixture is poured into water (200 ml) and washed with ethyl acetate (3 x 50 ml). The organic phase is extracted with water that is added to the previous aqueous phase and acidified with 10% hydrochloric acid at a temperature of 5°C. The product which separates is extracted with ethyl acetate and dried under vacuum. The residue is purified by chromatography on a silica gel column, eluting with n-hexane/ethyl acetate 6:4. 2.1 g of product are obtained.

EXAMPLE 5

The following compounds were prepared (identified by elemental analysis, ^{1}H- and ^{19}F-NMR), following the procedures described in the above examples:

- methyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 3), m.p. 116°C;
- ethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-ethoxybut-2-enoate (Compound N° 4);
- ethyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-ethoxybut-2-enoate (Compound N° 5);

EXAMPLE 6

Preparation of 3-{5-[(5-*tert*-butyl-1,3,4-oxadiazol-2-yl)methoxy]-4-chloro-2-fluorophenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 6);

2-tert-butyl-5-(chloromethyl)-1,3,4-oxadiazole (0.22 g) is added to a mixture of 3-(4-chloro-2-fluoro-5-hydroxyphenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (0.40 g) and potassium carbonate (0.25 g) in dimethylformamide (5 ml). The reaction mixture is heated to 60°C for 5 hours.

At the end of the reaction, the mixture is poured into water (50 ml) and extracted with ethyl acetate (2x30 ml); the organic phase is washed with water, dried with sodium sulphate and concentrated under vacuum. The residue is purified by chromatography on a silica gel column, eluting with n-hexane/ethyl acetate 8:2. 0.24 g of product are obtained as a colourless oil.

^{1}H-NMR ($CDCl_3$): δ a 1.41 (s, 9H, $C(CH_3)_3$); 3.53 (bs, 3H, NCH_3); 5.25 (s, 2H, OCH_2); 6.33 (s, 1H, CH uracil); 7.1 (d, 1H, aromatic); 7.3 (d, 1H, aromatic).

EXAMPLE 7

The following compounds (identified by elemental analysis, ^{1}H- and ^{19}F-NMR) were prepared following the procedure described in example 6:

- 3-[4-chloro-2-fluoro-5-(tetrazol-5-ylmethoxy)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 7) m.p. 82°C;

-3-{4-chloro-2-fluoro-5-[(2-ethyl-2*H*-tetrazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 8) m.p. 126°C;

-3-{4-chloro-2-fluoro-5-[(1-ethyl-1*H*-tetrazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 9) m.p. 60°C;

- methyl [5-({2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}methyl)-1*H*-tetrazol-1-yl]acetate (Compound N° 10) m.p. 243°C;

- methyl [5-({2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}methyl)-2*H*-tetrazol-2-yl]acetate (Compound N° 11) m.p. 65°C;

EXAMPLE 8

Preparation of ethyl 4-chloro-3-cyanophenylcarbamate (Intermediate having formula X)

A solution of ethyl chloroformate (3.68 g) in methylene chloride (5 ml) is added dropwise in about 20 minutes to a mixture of 5-amino-2-chlorobenzonitrile (5.2 g) and pyridine (2.77 g) in methylene chloride (75 ml), cooled to 0°C and maintained in an inert atmosphere. At

the end of the addition, the solution is stirred for 1 hour at 0°C and is then brought to room temperature. At the end of the reaction, the mixture is poured into water (100 ml) and extracted with methylene chloride (2x80 ml); the organic phase is washed with water (2x80 ml), dried with sodium sulphate and concentrated under vacuum. 7.2 g of product are obtained.

^{1}H-NMR ($CDCl_3$): δ a 1.31(t, 3H, CH_3); 4.24 (q, 2H, CH_2); 6.72 (bs, 1H, NH); 7.25-7.82 (m, 3H, aromatic).

EXAMPLE 9

Preparation of 2-chloro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]benzonitrile

A solution of ethyl 3-amino-4,4,4-trifluoro-2-butenoate (3.48 g) in dimethylformamide (7 ml) is added dropwise to a suspension of sodium hydride (60% in mineral oil) (0.9 g) in dimethylformamide (20 ml), cooled to 0°C and kept in an inert atmosphere, maintaining the temperature below 5°C. At the end of the addition, the solution is stirred for 1 hour at a temperature between 0 and 5°C. A solution of ethyl 4-chloro-3-cyanophenylcarbamate (4.0 g), prepared in the previous example 8, in dimethylformamide (30 ml) is added dropwise to the solution thus obtained, the temperature being maintained within the above-mentioned range.

The reaction mixture is then heated to 140°C and

maintained at this temperature for 4.5 hours. It is subsequently poured into water (100 ml), basified with 10% NaOH and extracted with ethyl ether (3 x 50 ml). The aqueous phase is acidified with 10% hydrochloric acid. The product which separates is extracted with ethyl acetate (2x80 ml) dried with sodium sulphate and concentrated under vacuum. 6.1 g of product are obtained, which is used as such in the subsequent reaction.

EXAMPLE 10

Preparation of 2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]benzonitrile

Methyl iodide (10.8 g) is added to a mixture of 2-chloro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]benzonitrile (6.0 g), obtained in the previous example 9, and sodium bicarbonate (3.19 g) in acetone (70 ml). The reaction mixture is refluxed for 18 hours. Water is added (200 ml) after the evaporation of the solvent, and the mixture is extracted with ethyl acetate (3x100 ml), the organic phase is dried with sodium sulphate and concentrated under vacuum. The residue is purified by chromatography on a silica gel column by eluting with n-hexane/ ethyl acetate 4:6. 1.9 g of product are obtained.

^{1}H-NMR ($CDCl_3$): δ a 3.55 (bs, 3H, NCH_3); 6.38 (s, 1H, CH uracil); 7.4-7.7 (m, 3H, aromatic).

EXAMPLE 11

Preparation of 3-[4-chloro-3-(tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 12)

Trimethylsilyl azide (1.34 g) and dibutyltin oxide (0.3 g) are added to a suspension of 2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]benzonitrile (1.9 g) in toluene (15 ml).

The reaction mixture is refluxed for 8 hours. After evaporation of the solvent, the residue is treated with methanol (30 ml) and concentrated in vacuo. The raw product obtained (2.3 g) is purified by digestion with n-hexane (30 ml) at room temperature for 1 hour. 2.1 g of product are obtained having a melting point > 180°C (dec.).

^{1}H-NMR ($CDCl_3$): δ a 3.51 (bs, 3H, NCH_3); 6.39 (s, 1H, CH uracil); 7.5-8.0 (m, 3H, aromatic).

^{19}F-NMR ($CDCl_3$): δ a -64,1 (s, 3F, CF_3).

EXAMPLE 12

Preparation of 3-[4-chloro-3-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 13) and 3-[4-chloro-3-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoro methyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 14);

Methyl iodide (1.22 g) is added to a mixture of 3-[4-chloro-3-(tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (1.6 g), obtained in the previous example 10, and potassium carbonate (0.89 g) in acetone (30 ml). The reaction mixture is refluxed for 2 hours. After the evaporation of the solvent, water is added (100 ml) and the mixture is extracted with ethyl acetate (2x50 ml); the organic phase is dried with sodium sulphate and concentrated under vacuum. The residue is purified by chromatography on a silica gel column by eluting with n-hexane/ethyl acetate 4:6. The following compounds are obtained: 0.55 g of 3-[4-chloro-3-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione having a melting point of 192°C.

^{1}H-NMR ($CDCl_3$): δ a 3.54 (bs, 3H, NCH_3 uracil); 4.42 (bs, 3H, NCH_3 tetrazole); 6.36 (s, 1H, CH uracil); 7.23-7.94 (m, 3H, aromatic);

and 0.3 g of 3-[4-chloro-3-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione having a melting point of 185°C.

^{1}H-NMR ($CDCl_3$): δ a 3.54 (bs, 3H, NCH_3 uracil); 4.04 (bs, 3H, NCH_3 tetrazole); 6.36 (s, 1H, CH uracil); 7.2-7.7 (m, 3H, aromatic).

The assignment of the structures was effected on the

basis of the NMR spectra.

EXAMPLE 13

The following compounds (identified by elemental analysis, ^{1}H- and ^{19}F-NMR) were prepared, following the procedure described in example 12:

- 3-[4-chloro-3-(2-ethyl-2*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 15) m.p. 136 °C;
- 3-[4-chloro-3-(1-ethyl-1*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 16) m.p. 202 °C;
- methyl (5-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-2*H*-tetrazol-2-yl)acetate (Compound N° 17) m.p. 172 °C;
- methyl (5-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-1*H*-tetrazol-1-yl)acetate (Compound N° 18) m.p. 130 °C.

EXAMPLE 14

Preparation of N-[4-chloro-3-(4,5-dimethyl-1,3-thiazol-2-yl)phenyl]-4,4,4-trifluoro-3-oxobutaneamide

Ethyl trifluoroacetoacetate (2.54 g) is added to a solution of 2-(5-amino-2-chlorophenyl)-4,5-dimethyl-1,3-thiazole (2.20 g) in 110 ml of toluene; a catalytic amount of 4-dimethylaminopyridine is added, then the mixture is heated to 110°C while distilling off the solvent.

When reaction is complete, the residue is concentrated under vacuum; 3.80 g of product are obtained.

EXAMPLE 15

Preparation of 3-amino-N-[4-chloro-3-(4,5-dimethyl-1,3-thiazol-2-yl)phenyl]-4,4,4-trifluorobut-2-eneamide

A mixture of N-[4-chloro-3-(4,5-dimethyl-1,3-thiazol-2-yl)phenyl]-4,4,4-trifluoro-3-oxobutaneamide (3.80 g) and ammonium acetate (6.22 g) in 35 ml of ethyl acetate is refluxed for 7 hours, then cooled to room temperature and diluted with ethyl acetate (70 ml).

The mixture is washed once with water and once with brine, then dried over sodium sulphate and concentrated under vacuum.

The residue is purified by chromatography on a silica gel column by eluting with n-hexane/ethyl acetate 9:1; 1.70 g of product are obtained.

EXAMPLE 16

Preparation of 3-[4-chloro-3-(4,5-dimethyl-1,3-thiazol-2-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 19)

A solution of 3-amino-N-[4-chloro-3-(4,5-dimethyl-1,3-thiazol-2-yl)phenyl]-4,4,4-trifluorobut-2-eneamide (1.70 g), pyridine (0.89 g) and a catalytic amount of 4-dimethylaminopyridine in 61 ml of toluene is heated at 40°C, then a solution of diphosgene (2.24 g) in 4 ml of

toluene is added dropwise.

The mixture is kept at 40°C for 2 hours, then poured into water (100 ml) and extracted with ethyl acetate (3 x 50 ml); the combined organic phases are washed once with water and once with brine, then dried over sodium sulphate and concentrated under vacuum. 2.00 g of product are obtained.

EXAMPLE 17

Preparation of 3-[4-chloro-3-(4,5-dimethyl-1,3-thiazol-2-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 20)

Methyl iodide (0.84 g) is added to a mixture of 3-[4-chloro-3-(4,5-dimethyl-1,3-thiazol-2-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (0.40 g), obtained in the previous example 16, and sodium bicarbonate (0.17 g) in acetone (5 ml). The reaction mixture is refluxed for 2 hours. Water is added (20 ml) after the evaporation of the solvent, and the mixture is extracted with ethyl acetate (3x20 ml); the organic phase is dried with sodium sulphate and concentrated under vacuum. The residue is purified by chromatography on a silica gel column by eluting with n-hexane/ ethyl acetate 8:2. 0.21 g of product are obtained, having a melting point of 200°C.

^{1}H-NMR ($CDCl_3$): δ 2.36 (s, 3H, thiazole-CH_3), 2.416 (s,

3H, thiazole-CH_3), 3.54 (bs, 1H, NCH_3); 6.36 (s, 1H, CH uracil); 7.13 (dd, 1H, aromatic); 7.58 (d, 1H, aromatic), 8.18 (d, 1H, aromatic).

EXAMPLE 18

The following compounds (identified by ^1H- and ^{19}F-NMR elemental analysis) were prepared following suitable procedures, some of which are described in the previous examples:

- methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenylthio}-3-methoxybut-2-enoate (Compound N° 21);
- methyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenylthio}-3-methoxybut-2-enoate (Compound N° 22);
- isopropyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 23);
- methyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 24);
- ethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-ethoxybut-2-enoate (Compound N° 25);
- ethyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-2,6-

dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-ethoxybut-2-enoate (Compound N° 26);

- 2,2,2-trifluoroethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 27);

- (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxy-N,N-dimethylbut-2-enamide (Compound N° 28);

- *S*-ethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enethioate (Compound N° 29);

- isopropyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 30);

- 2,2,2-trifluoroethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 31);

- 2,2,2-trifluoroethyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 32);

- *S*-ethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-

(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enethioate (Compound N° 33);

- *S*-ethyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enethioate (Compound N° 34);

- (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxy-N,N-dimethylbut-2-enamide (Compound N° 35);

- (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxy-N,N-dimethylbut-2-enamide (Compound N° 36);

- (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenylthio}-3-methoxy-N,N-dimethylbut-2-enamide (Compound N° 37);

- (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenylthio}-3-methoxy-N,N-dimethylbut-2-enamide (Compound N° 38);

- 3-[4-chloro-2-fluoro-5-(tetrazol-5-ylmethoxy)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 39);

- 3-{4-chloro-2-fluoro-5-[(2-methyl-2*H*-tetrazol-5-yl)methoxy]phenyl}-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 40);

- 3-[2,4-dichloro-5-(tetrazol-5-ylmethoxy)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 41);
- 3-{4-chloro-2-fluoro-5-[(2-methyl-2*H*-tetrazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 42), m.p. 167°C;
- 3-{2,4-dichloro-5-[(2-methyl-2*H*-tetrazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 43);
- 3-{2,4-dichloro-5-[(2-ethyl-2*H*-tetrazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 44);
- 3-{2,4-dichloro-5-[(1-ethyl-1*H*-tetrazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 45);
- methyl [5-({2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}methyl)-1*H*-tetrazol-1-yl]acetate (Compound N° 46);
- methyl [5-({2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}methyl)-2*H*-tetrazol-2-yl]acetate (Compound N° 47);

-3-[4-chloro-3-(tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N°

48);

- 3-[4-chloro-3-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 49);

- 3-[4-chloro-3-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 50);

- 3-[4-chloro-2-fluoro-5-(tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 51);

- 3-[2,4-dichloro-5-(tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 52);

- 3-[4-chloro-2-fluoro-5-(tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 53);

- 3-[2,4-dichloro-5-(tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 54);

- 3-[4-chloro-2-fluoro-5-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 55);

- 3-[2,4-dichloro-5-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 56);

- 3-[4-chloro-2-fluoro-5-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 57);
- 3-[2,4-dichloro-5-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 58);
- 3-[4-chloro-2-fluoro-5-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 59);
- 3-[2,4-dichloro-5-(2-methyl-2*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 60);
- 3-[4-chloro-2-fluoro-5-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 61);
- 3-[2,4-dichloro-5-(1-methyl-1*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 62);
- methyl (5-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-1*H*-tetrazol-1-yl)acetate (Compound N° 63);
- methyl (5-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-2*H*-tetrazol-2-yl)acetate (Compound N° 64);
- methyl (5-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-

2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-1*H*-tetrazol-1-yl)acetate (Compound N° 65);

- methyl (5-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-2*H*-tetrazol-2-yl)acetate (Compound N° 66);

- 3-[4-chloro-3-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 67);

- 3-[2,4-dichloro-5-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 68);

- 3-[4-chloro-2-fluoro-5-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 69);

- 3-[4-chloro-3-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 70), m.p. 201 °C;

- 3-[4-chloro-3-(4-ethoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 71);

- 3-[2,4-dichloro-5-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 72);

- 3-[2,4-dichloro-5-(4-ethoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-

pyrimidinedione (Compound N° 73);

- 3-[4-chloro-2-fluoro-5-(4-methoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 74);

- 3-[4-chloro-2-fluoro-5-(4-ethoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 75);

- 3-[4-chloro-3-(4-benzyloxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 76);

- 3-[2,4-dichloro-5-(4-benzyloxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 77);

- 3-[4-chloro-2-fluoro-5-(4-benzyloxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 78);

- 3-(2,4-dichloro-5-{[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]oxy}phenyl)-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 79);

- 3-(4-chloro-2-fluoro-5-{[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]oxy}phenyl)-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 80);

- 3-(2,4-dichloro-5-{[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]oxy}phenyl)-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 81);

- 3-(4-chloro-2-fluoro-5-{[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]oxy}phenyl)-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 82);
- 3-(4-chloro-3-{[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 83);
- 3-(2,4-dichloro-5-{[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 84), m.p. 90 °C;
- 3-(4-chloro-2-fluoro-5-{[5-(trifluoromethyl)-1,3,4-thiadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 85), m.p. 49 °C;
- 3-(4-chloro-3-{[5-methyl-1,3,4-thiadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 86);
- 3-(2,4-dichloro-5-[(5-methyl-1,3,4-thiadiazol-2-yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 87);
- 3-{4-chloro-2-fluoro-5-[(5-methyl-1,3,4-thiadiazol-2-yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 88);
- 3-(4-chloro-3-{[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 89);
- 3-(2,4-dichloro-3-{[5-(trifluoromethyl)-1,3,4-

oxadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 90);

- 3-(4-chloro-2-fluoro-5-{[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]oxy}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 91);

- 3-{4-chloro-3-[(5-methyl-1,3,4-oxadiazol-2-yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 92);

- 3-{2,4-dichloro-5-[(5-methyl-1,3,4-oxadiazol-2-yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 93);

- 3-{4-chloro-2-fluoro-5-[(5-methyl-1,3,4-oxadiazol-2-yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 94);

- methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-6-oxo-2-thioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 95);

- methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-difluoromethyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound N° 96).

- methyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxypent-2-enoate (Compound No 97);

- methyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-

yl]phenoxy}-3-methoxypent-2-enoate (Compound No 98);

- ethyl (2*E*)-4-{2,4-dichloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound No 99), m.p. 128 °C;

- ethyl (2*E*)-4-{2-chloro-4-fluoro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound No 100), m.p. 78 °C;

- 3-{4-chloro-3-[2-(methoxymethyl)-2*H*-tetrazol-5-yl]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 101), m.p. 80 °C;

- 3-{4-chloro-3-[1-(methoxymethyl)-1*H*-tetrazol-5-yl]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 102), m.p. 182 °C;

- 3-{4-chloro-3-[2-(ethoxymethyl)-2*H*-tetrazol-5-yl]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 103), m.p. 140 °C;

- 3-{4-chloro-3-[1-(ethoxymethyl)-1*H*-tetrazol-5-yl]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 104), m.p. 157 °C;

- 3-[3-(2-allyl-2*H*-tetrazol-5-yl)-4-chlorophenyl]-1-methyl-6-(trifluoromethyl)- 2,4(1*H*,3*H*)-pyrimidinedione (Compound No 105), m.p. 116 °C;

- 3-[3-(1-allyl-1*H*-tetrazol-5-yl)-4-chlorophenyl]-1-

methyl-6-(trifluoromethyl)- 2,4(1*H*,3*H*)-pyrimidinedione (Compound No 106), m.p. 160 °C;

- 3-{4-chloro-2-fluoro-5-[(3-methylisoxazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 107), m.p. 154 °C;

- 3-{2,4-dichloro-5-[(3-methylisoxazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 108), m.p. 185 °C;

- 3-[4-chloro-3-(4-isopropoxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 109), m.p. 124 °C;

- 3-[4-chloro-3-(4-hydroxy-5-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 110), m.p. 165 °C;

- 3-{4-chloro-2-fluoro-5-[(5-methyl-1,2,4-oxadiazol-3-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 111);

- 3-{2,4-dichloro-5-[(5-methyl-1,2,4-oxadiazol-3-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 112), m.p. 167 °C;

- 3-[3-(1,3-benzothiazol-2-yl)-4-chlorophenyl]-1-methyl-6-(trifluoromethyl)- 2,4(1*H*,3*H*)-pyrimidinedione (Compound

No 113), m.p. 189 °C;

- 3-[3-(1,3-benzoxazol-2-yl)-4-chlorophenyl]-1-methyl-6-(trifluoromethyl)- 2,4(1*H*,3*H*)-pyrimidinedione (Compound No 114), m.p. 183 °C;

- 3-{4-chloro-2-fluoro-5-[(3-methyl-1,2,4-oxadiazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 115), m.p. 60 °C;

- 3-[4-chloro-3-(4-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 116);

- 3-[4-chloro-2-fluoro-5-(1,2,4-oxadiazol-3-ylmethoxy)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 117), m.p. 122 °C;

- 3-[3-(2-*tert*-butyl-2*H*-tetrazol-5-yl)-4-chlorophenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 118), m.p. 154 °C;

- 3-[5-(1,3-benzothiazol-2-yl)-4-chloro-2-fluorophenyl]-1-methyl-6-(trifluoromethyl)- 2,4(1*H*,3*H*)-pyrimidinedione (Compound No 119), m.p. 211 °C;

- 3-(4-chloro-3-{2-[(2-methoxyethoxy)methyl]-2*H*-tetrazol-5-yl}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 120);

- 3-(4-chloro-3-{1-[(2-methoxyethoxy)methyl]-1*H*-tetrazol-5-yl}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-

pyrimidinedione (Compound No 121);

- 3-[5-(1,3-benzoxazol-2-yl)-4-chloro-2-fluorophenyl]-1-methyl-6-(trifluoromethyl)- 2,4(1*H*,3*H*)-pyrimidinedione (Compound No 122), m.p. 178 °C;
- 3-[5-(1,3-benzothiazol-2-yl)-2,4-dichlorophenyl]-1-methyl-6-(trifluoromethyl)- 2,4(1*H*,3*H*)-pyrimidinedione (Compound No 123), m.p. 195 °C;
- 3-[2,4-dichloro-5-(6-methyl-1,3-benzoxazol-2-yl)phenyl]-1-methyl-6-(trifluoromethyl) 2,4(1*H*,3*H*)-pyrimidinedione (Compound No 124), m.p. 200 °C;
- 2-(5-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-2*H*-tetrazol-2-yl)-*N*,*N*-dimethylacetamide (Compound No 125) m.p. 208 °C;
- 2-(5-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-2*H*-tetrazol-2-yl)acetamide (Compound No 126) m.p. 200 °C;
- 3-[2,4-dichloro-5-(4-methyl-1,3-thiazol-2-yl)phenyl-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 127), m.p. 188 °C;
- 3-[3-(4-*tert*-butyl-1,3-thiazol-2-yl)-4-chlorophenyl]-1-methyl-6-(trifluoromethyl) 2,4(1*H*,3*H*)-pyrimidinedione (Compound No 128), m.p. 173 °C;
- 3-[2,4-dichloro-5-(4-isobutyl-1,3-thiazol-2-yl)phenyl]-1-methyl-6-(trifluoromethyl) 2,4(1*H*,3*H*)-pyrimidinedione

(Compound No 129), m.p. 167 °C;

- 3-[4-chloro-3-(1,3-thiazol-2-yl)phenyl]-1-methyl-6-(trifluoromethyl) 2,4(1*H*,3*H*)-pyrimidinedione (Compound No 130);
- ethyl 2-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-4-methyl-1,3-thiazole-5-carboxylate (Compound No 131), m.p. 200 °C;
- 3-{5-[(3-*tert*-butylisoxazol-5-yl)methoxy]-4-chloro-2-fluorophenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 132), m.p. 142 °C;
- 3-{4-chloro-2-fluoro-5-[(3-isopropylisoxazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 133), m.p. 128 °C;
- 3-[4-chloro-3-(2-isopropyl-2*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 134) m.p. 147 °C;
- 3-[3-(2-benzyl-2*H*-tetrazol-5-yl)-4-chlorophenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 135);
- 3-[3-(1-benzyl-1*H*-tetrazol-5-yl)-4-chlorophenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 136);
- 3-{4-chloro-2-fluoro-5-[(1-methyl-1*H*-tetrazol-5-

yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 137);

- 3-{4-chloro-2-fluoro-5-[(2-methyl-2*H*-tetrazol-5-yl)oxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 138);

- methyl (2*E*)-4-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-methoxybut-2-enoate (Compound No 139);

- ethyl (2*E*)-4-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4(trifluoromethyl)pyrimidin-1-yl]phenoxy}-3-ethoxybut-2-enoate (Compound No 140);

- 3-[4-chloro-3-(1,2,4-oxadiazol-3-ylmethoxy)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 141);

- 3-{4-chloro-3-[(3-methylisoxazol-5-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 142);

- 3-[4-chloro-3-(4,5,6,7-tetrahydro-1,3-benzothiazol-2-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 143);

- 3-[4-chloro-3-(5,6-dihydro-1,4,2-dioxazin-3-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 144);

- 3-[4-chloro-3-(4-methyl-5-oxo-5,6-dihydro-4*H*-1,3,4-oxadiazin-2-yl)phenyl]-1-methyl-6-(trifluoromethyl)-

2,4(1*H*,3*H*)-pyrimidinedione (Compound No 145);

-3-[4-chloro-3-(5,6-dihydro-1,4,2-dioxazin-3-ylmethoxy)-2-fluorophenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 146);

- 3-{4-chloro-2-fluoro-5-[(4-methyl-5-oxo-5,6-dihydro-4*H*-1,3,4-oxadiazin-2-yl)methoxy]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 147);

- 3-[4-chloro-3-(2-phenyl-2*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 148);

- 3-[4-chloro-3-(1-phenyl-1*H*-tetrazol-5-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 149);

- 3-{4-chloro-3-[1-(cyclopropylmethyl)-1*H*-tetrazol-5-yl]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 150);

- 3-{4-chloro-3-[2-(cyclopropylmethyl)-2*H*-tetrazol-5-yl]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 151);

- 3-{4-chloro-3-[1-(2-oxopropyl)-1*H*-tetrazol-5-yl]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 152);

- 3-{4-chloro-3-[2-(2-oxopropyl)-2*H*-tetrazol-5-yl]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-

pyrimidinedione (Compound N° 153);

- 3-[4-chloro-3-(4-cyclopropyl-1,3-thiazol-2-yl)phenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 154);

- 3-{4-chloro-3-[4-(4-chlorophenyl)-1,3-thiazol-2-yl]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound N° 155);

- ethyl 2-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-1,3-thiazole-4-carboxylate (Compound No 156), m.p. 197 °C;

- 3-[3-(2-butyl-2*H*-tetrazol-5-yl)-4-chlorophenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 157), m.p. 108 °C;

-3-[4-chloro-2-fluoro-5-(5,6-dihydro-1,4,2-dioxazin-3-ylmethoxy)-2-fluorophenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 158);

- 3-(4-chloro-3-{2-[(4-chlorophenoxy)methyl]-2*H*-tetrazol-5-yl}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 159);

- 3-(4-chloro-3-{1-[(4-chlorophenoxy)methyl]-1*H*-tetrazol-5-yl}phenyl)-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 160);

- 3-[3-(4-*tert*-butyl-5-oxo-4,5-dihydro-1,3,4-thiadiazol-2-yl)-4-chlorophenyl]-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 161);

- 3-{4-chloro-3-[2-(4-chlorobenzyl)-2*H*-tetrazol-5-yl]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 162);
- 3-{4-chloro-3-[1-(4-chlorobenzyl)-1*H*-tetrazol-5-yl]phenyl}-1-methyl-6-(trifluoromethyl)-2,4(1*H*,3*H*)-pyrimidinedione (Compound No 163);
- methyl 2-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-1,3-thiazole-4-carboxylate (Compound No 164);
- methyl (2-{2-chloro-5-[1,2,3,6-tetrahydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)pyrimidin-1-yl]phenyl}-1,3-thiazol-4-yl)acetate (Compound No 165).

EXAMPLE 19

Determination of the herbicidal activity and phytotoxicity in pre-emergence.

The herbicidal activity of the compounds of the invention in pre-emergence was evaluated according to the following operative procedures.

The vegetable species of interest (weeds or crops) were sown in pots with an upper diameter of 10 cm, a height of 10 cm and containing sandy soil. 10 pots were used for each vegetable species.

Water was added to each pot in such a quantity as to germinate the seeds. The pots were divided into two groups, each containing 5 pots for each weed or crop.

After one day from the sowing, the first set of pots was treated with a hydro-acetonic dispersion containing acetone at 10% in volume, the product under evaluation at the desired concentration and Tween 20 at 0.5%.

The second set was treated with a hydro-acetonic solution only, containing acetone at 10% in volume and Tween 20 at 0.5%, and was used as comparison (blank).

All pots were kept under observation in a conditioned environment under the following conditions:

- temperature: 24°C;
- relative humidity: 60%;
- photoperiod: 16 ore;
- light intensity: 10000 lux.

The pots were uniformly watered in order to ensure a sufficient humidity degree for a good development of the plants.

Fifteen days after the treatment, the herbicidal activity was evaluated on the basis of the following values, which refer to the damage percentage tested on the treated plants, with respect to the non-treated plants (blank):

- 0 = 0 - 10 % damage;
- 1 = 11 - 30 % damage;
- 2 = 31 - 50 % damage;
- 3 = 51 - 70 % damage;

- 4 = 71 - 90 % damage;
- 5 = 91 % damage - death of the plant.

Table 1 shows the results obtained by treating the vegetable species listed below with compounds 2, 6 and 13 with a dosage of 15 g/ha:

Abutilon theofrasti (AT); Amaranthus retroflexus (AR); Chenopodium album (CA); Convolvulus sepium (CS); Galium aparine (GA); Ipomea purpurea (IP); Portulaca oleracea (PO); Solanum nigrum (SN); Sida spinosa (SS).

Table 1: Herbicidal activity in pre-emergence with a dosage of 15 g/ha

Vegetal species	AT	AR	CA	CS	GA	IP	PO	SN	SS
Compound N° 2	5	5	5	5	5	5	5	5	5
Compound N° 6	5	4	5	-	5	4	5	5	5
Compound N° 13	5	5	5	-	5	5	5	5	5

EXAMPLE 20

Determination of the herbicidal activity and phytotoxicity in post-emergence.

The herbicidal activity of the compounds of the invention in post-emergence was evaluated according to the following operative procedures.

The vegetable species of interest (weeds or crops) were sown in pots with an upper diameter of 10 cm, a height of 10 cm and containing sandy soil. 10 pots were used for each vegetable species.

Water was added to each pot in such a quantity as to germinate the seeds. The pots were divided into two groups, each containing 5 pots for each weed or crop.

Fifteen days after sowing (ten, in the case of wheat), when the weeds and crops, according to the species, were 10-15 cm high, the first set of pots was treated with a hydro-acetonic dispersion containing acetone at 10% in volume, the product under evaluation at the desired concentration and Tween 20 at 0.5%.

The second set was treated with a hydro-acetonic solution only, containing acetone at 10% in volume and Tween 20 at 0.5%, and was used as comparison (blank).

All pots were kept under observation in a conditioned environment under the following conditions:

- temperature: 24°C;
- relative humidity: 60%;
- photo-period: 16 ore;
- light intensity: 10000 lux.

The pots were uniformly watered every other day so as to ensure a humidity degree sufficient for a good development of the plants.

The herbicidal activity was evaluated fifteen days after the treatment, on the basis of the following values which refer to the percentage of damage tested on the treated plants with respect to the non-treated plants (blank):

- 0 = 0 - 10 % damage;
- 1 = 11 - 30 % damage;
- 2 = 31 - 50 % damage;
- 3 = 51 - 70 % damage;
- 4 = 71 - 90 % damage;
- 5 = 91 % damage - death of the plant.

Table 2 shows the results obtained by treating the vegetable species listed below with compounds 2, 6 and 13 with a dosage of 15 g/ha:

Abutilon theofrasti (AT); Amaranthus retroflexus (AR); Chenopodium album (CA); Convolvulus sepium (CS); Galium aparine (GA); Ipomea purpurea (IP); Portulaca oleracea (PO); Solanum nigrum (SN); Sida spinosa (SS).

Table 2: Herbicidal activity in post-emergence with a dosage of 15 g/ha

Vegetable species	AT	AR	CA	CS	GA	IP	PO	SN	SS
Compound N° 2	5	5	5	5	5	5	4	5	5
Compound N° 6	5	3	4	-	-	5	4	5	4
Compound N° 13	5	5	5	-	-	5	5	5	5